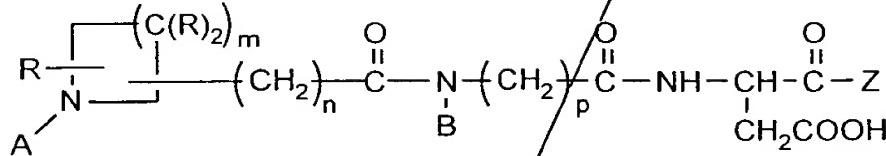


WHAT IS CLAIMED IS:

1. A compound of the formula

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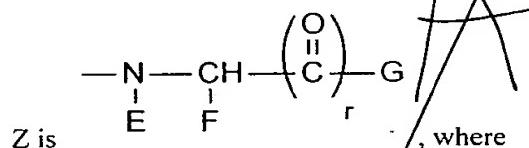
wherein:

10

A is -H, amidino, or substituted amidino;

15

B is alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl, or alkylaralkyl;



Z is , where

15

E is -H or, in combination with F, forms a 4-, 5-, 6-, or 7-membered azacycloalkane ring,

20

F is the a-carbon side chain of a naturally occurring a-amino acid, -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl, substituted heterocyclylalkyl, or, in combination with E, forms a 4-, 5-, 6-, or 7-membered azacycloalkane ring,

25

G is alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heterocyclyl, substituted heterocyclyl,

heterocyclylalkyl, substituted heterocyclylalkyl, OR¹, or NR¹R², where R¹ and R² are independently -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl, or alkylaralkyl, and

30

r is 0 or 1;

R is H-, alkyl, aryl, or aralkyl;

m is 1 to 5;

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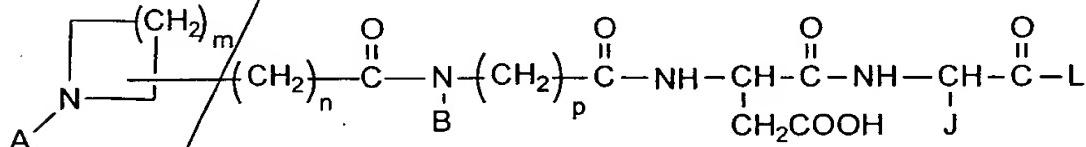
n is 0 to 6; and

p is 1 to 4;

5 or a pharmaceutically acceptable salt thereof.

2. A compound of claim 1 wherein F is -H, alkyl, hydroxymethyl, 1-hydroxyethyl, mercaptomethyl, 2-methylthioethyl, carboxymethyl, 2-carboxyethyl, 4-aminobutyl, 3-guanidinopropyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl, substituted heterocyclylalkyl, or, in combination with E, forms a 4-, 5-, 6-, or 10 7-membered azacycloalkane ring, provided that heterocyclylalkyl is other than indol-3-ylmethyl.
- 15 3. A compound of claim 2 wherein F is -H, alkyl, hydroxymethyl, 1-hydroxyethyl, mercaptomethyl, 2-methylthioethyl, carboxymethyl, 2-carboxyethyl, 4-aminobutyl, 3-guanidinopropyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, or, in combination with E, forms a 4-, 5-, 6-, or 20 7-membered azacycloalkane ring.
- 25 4. A compound of claim 3 wherein F is -H, alkyl, hydroxymethyl, 1-hydroxyethyl, mercaptomethyl, 2-methylthioethyl, carboxymethyl, 2-carboxyethyl, 4-aminobutyl, 3-guanidinopropyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, or, in combination with E, forms a 4-, 5-, 6-, or 7-membered azacycloalkane ring.
5. A compound of claim 4 wherein B is alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, or alkylcycloalkylalkyl.

30 6. A compound of the formula



35 A is -H or amidino,

B is alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl, or alkylaralkyl,

5 J is -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl,

L is OR¹, or NR¹R², where R¹ and R² are independently -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl, or alkylaralkyl,

10

m is 1 to 5,

n is 2 to 6, and

15

p is 1 or 2;

or a pharmaceutically acceptable salt thereof.

20

7. A compound of claim 6 wherein

A is -H,

B is alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl,

25

J is -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, or alkylcycloalkylalkyl,

m is 3, and

n is 3 or 4.

30

8. A compound of claim 7 wherein

A is -H,

35

B is alkyl,

J is alkyl, cycloalkyl, or cycloalkylalkyl,

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R¹ and R² are independently -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl,

m is 3,

5

n is 3 or 4, and

p is 1.

10 9. A compound of claim 7 which is

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl] valine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-D-valine,

15

N-[N-[N-(3-(piperidin-4-yl)propanoyl)-N-ethylglycyl]aspartyl] valine,

N-[N-[N-(5-(piperidin-4-yl)pentanoyl)-N-ethylglycyl]aspartyl] valine,

20

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-a-cyclohexyl glycine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclohexylalanine,

25

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl] norleucine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-a-(2,2-dimethyl)prop3-yl glycine,

30

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-cis-decahydronaphth-2-ylalanine,

35

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-a-aminocyclohexanecarboxylic acid,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclohexyl-D-alanine,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-decahydronaphth-1-ylalanine,

5 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclooctylalanine,

1 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-adamant-1-ylalanine,

10 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-(4-cyclohexyl)cyclohexylalanine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cycloheptylalanine,

15 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-a-cyclohexylpropylglycine,

20 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclooctylmethylalanine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclopentylalanine

25 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-decahydronaphth-1-yl alanine, or

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-a-(2-cyclohexylethyl)glycine,

30 or a pharmaceutically acceptable salt thereof.

10. A compound of claim 7 which is

35 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl] phenylalanine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-(1,2,3,4)-tetrahydronaphth-5-ylalanine,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-naphth-1-yl alanine, or

5 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-naphth-2-yl alanine,

or a pharmaceutically acceptable salt thereof.

11. A compound of claim 7 which is

10

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-cyclohexyl alanine amide,

15

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b'- cyclooctylalanine amide,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b- cyclohexylmethylalanine amide, or

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclohexylalanine ethyl amide,

or a pharmaceutically acceptable salt thereof.

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12. A compound of claim 6 which is

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-cyclohexyl alanine, ethyl ester,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b- cyclohexylmethylalanine ethyl ester, or

35 3-Adamant-1-ylpropyl-N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartate,

or a pharmaceutically acceptable salt thereof.

13. A compound of claim 1 which is

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2-cyclohexyl-N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-ethylamine, or

5 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-a-cyclohexylmethylethanolamine,

or a pharmaceutically acceptable salt thereof.

10 14. A pharmaceutical composition comprising an antithrombotic effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

15 15. A pharmaceutical composition comprising an antithrombotic effective amount of a compound of claim 6 and a pharmaceutically acceptable carrier.

16. A method for the prevention or treatment of thrombosis in a mammal in need of such therapy comprising the administration of a therapeutically effective amount of a compound of claim 1.

17. A method for the prevention or treatment of thrombosis in a mammal in need of such therapy comprising the administration of a therapeutically effective amount of a compound of claim 6.

18. A method for the prevention or treatment of thrombosis in a mammal in need of such therapy comprising the administration of a therapeutically effective amount of the composition of claim 13.

19. A method for the prevention or treatment of thrombosis in a mammal in need of such therapy comprising the administration of a therapeutically effective amount of the composition of claim 14.

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